Neotadin

abidi Respiratory

Neotadin®

Desloratadine

- Active & potent metabolite of Loratadine
- New Second generation H1 receptor antagonist
- 2001 approved by FDA: Aerius® & Clarinex ®

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Mechanism of Action

- A long-acting tricyclic histamine antagonist with selective H1-receptor histamine antagonist activity
- A study in animal model showed that desloratadine did not readily cross the Blood Brain Barrier.

Pharmacodynamics

- Antihistaminic effects by 1 hours
- Clinical effects by 24 hours

Respiratory

Pharmacokinetics

- Elimination: **Tmax: 3 Hrs.**

  Neither food nor grapefruit juice had an effect on the bioavailability of desloratadine

- Distribution: **Plasma protein binding 82-87%**

- Absorption: **Half Life: 27 Hrs.**

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INDICATIONS AND USAGE:

➢Seasonal Allergic Rhinitis:

relief of nasal and non-nasal symptoms in patients **2 years** of age and older

➢ Perennial Allergic Rhinitis:

relief of nasal and non-nasal symptoms in patients **6 months** of age and older

➢Chronic Idiopathic Urticaria:

symptomatic relief of pruritus, reduction in the number of hives, and size of hives in patients **6 months** of age and older

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Dosage:

➢ Adults and Adolescents 12 Years of Age and Over:

- Neotadin Tablets - one 5 mg tablet once daily

➢ Children 6 to 11 Years of Age:

- Neotadin Oral Solution - 1 teaspoonful (2.5 mg in 5 mL) once daily

➢ Children 12 Months to 5 Years of Age:

- Neotadin Oral Solution - 1/2 teaspoonful (1.25 mg in 2.5 mL) once daily

➢ Children 6 to 11 Months of Age:

- Neotadin Oral Solution - 2 mL (1 mg) once daily

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Desloratadine: Highest H1-Receptor Affinity

Receptor-binding affinity of histamine antagonists on recombinant human H_1 receptor

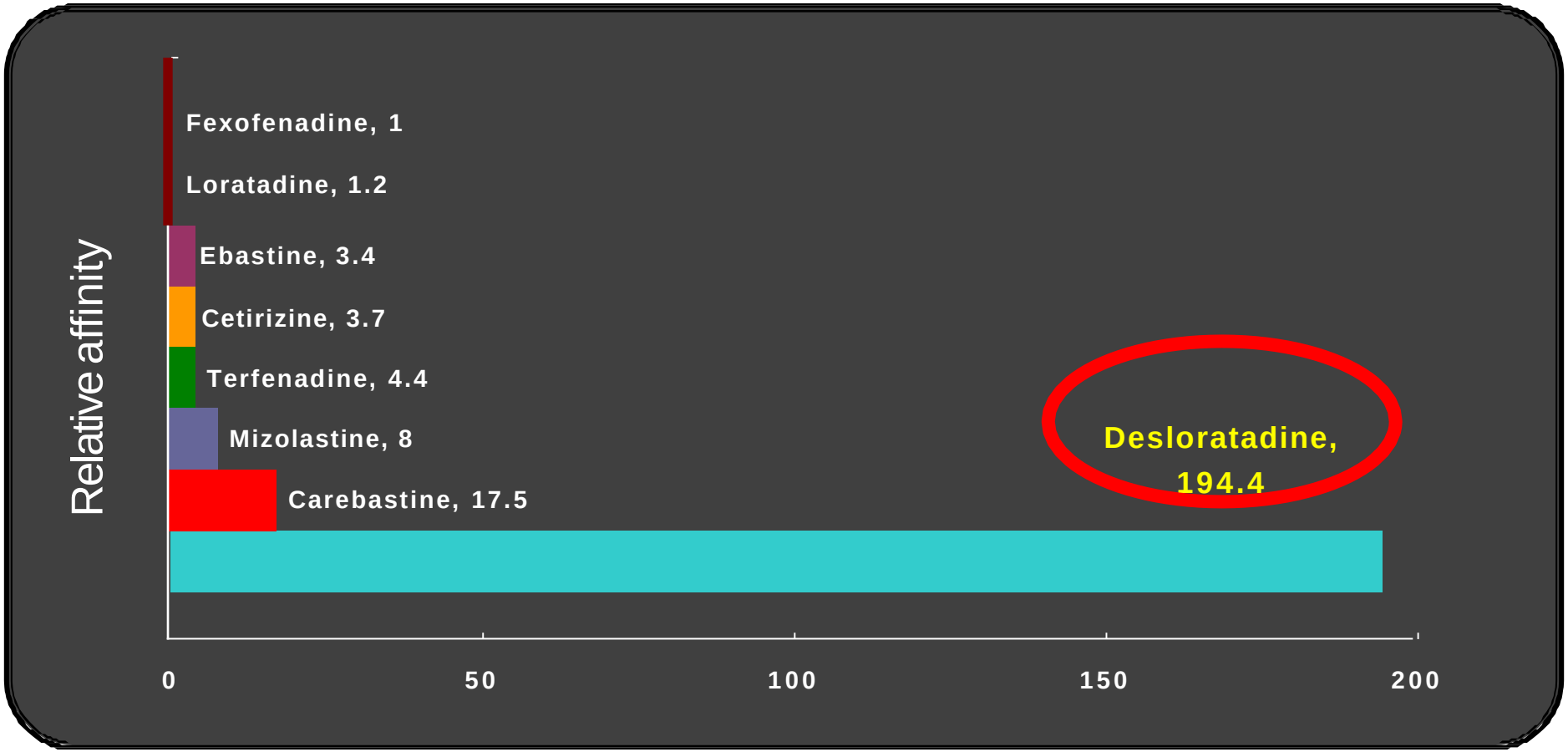
Anti-H_1	Ki (nM)	Relative Affinity
Desloratadine	**0.9**	**194.4**
Carebastine	10	17.5
Mizolastine	22	8.0
Terfenadine	40	4.4
Cetirizine	47	3.7
Ebastine	52	3.4
Loratadine	138	1.2
Fexofenadine	175	1.0

Courtesy of Prof. P.Devillier.

Anthes et al. *Eur J Pharmacol.* 2002;449:229.

Desloratadine: Highest H1-Receptor Affinity (con')

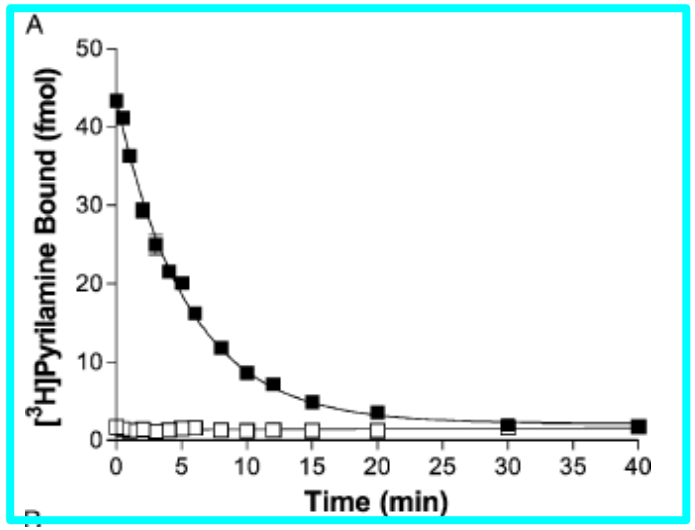
Comparison of H1 receptor-binding affinity of antihistamines



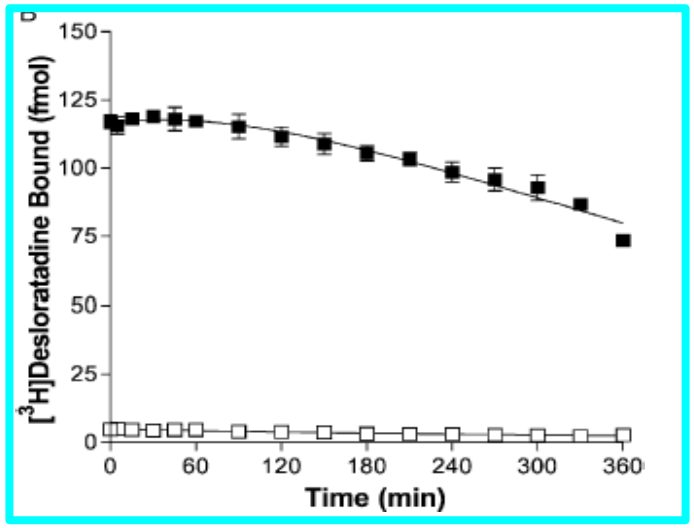
1. Anthes et al. Biochemical characterization of desloratadine, a potent antagonist of the human histamine H1 receptor. *Eur J Pharmacol.* 2002;449:229-237

Desloratadine: Slow Dissociation from H1-Receptor

Human H_1-Receptor in CHO Cells:
Kinetic of Dissociation [^{3}H] pyrilamine vs [^{3}H] desloratadine



Pyrilamine dissociation
50% in 3.8 min



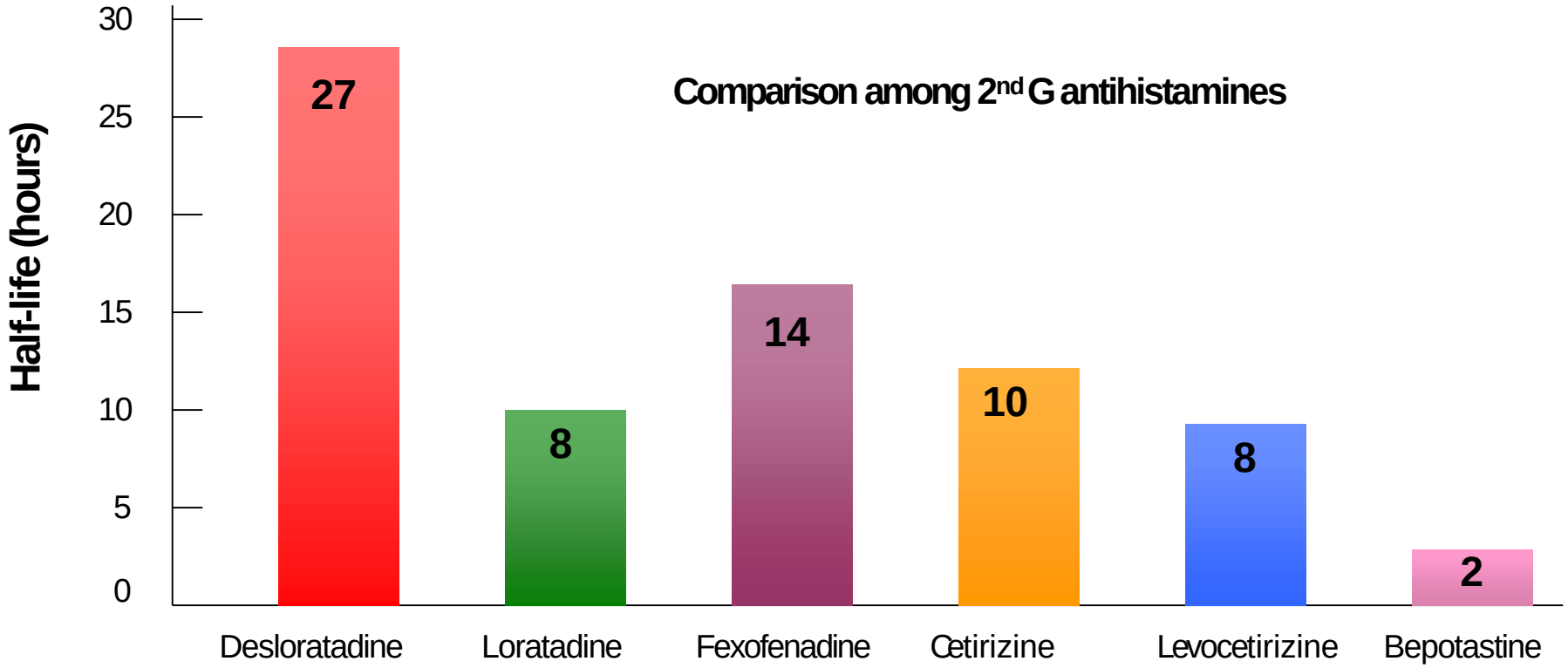
Desloratadine dissociation
37% in 6 hours

➔ **Long Duration of Action**

Courtesy of Prof. P.Devillier.

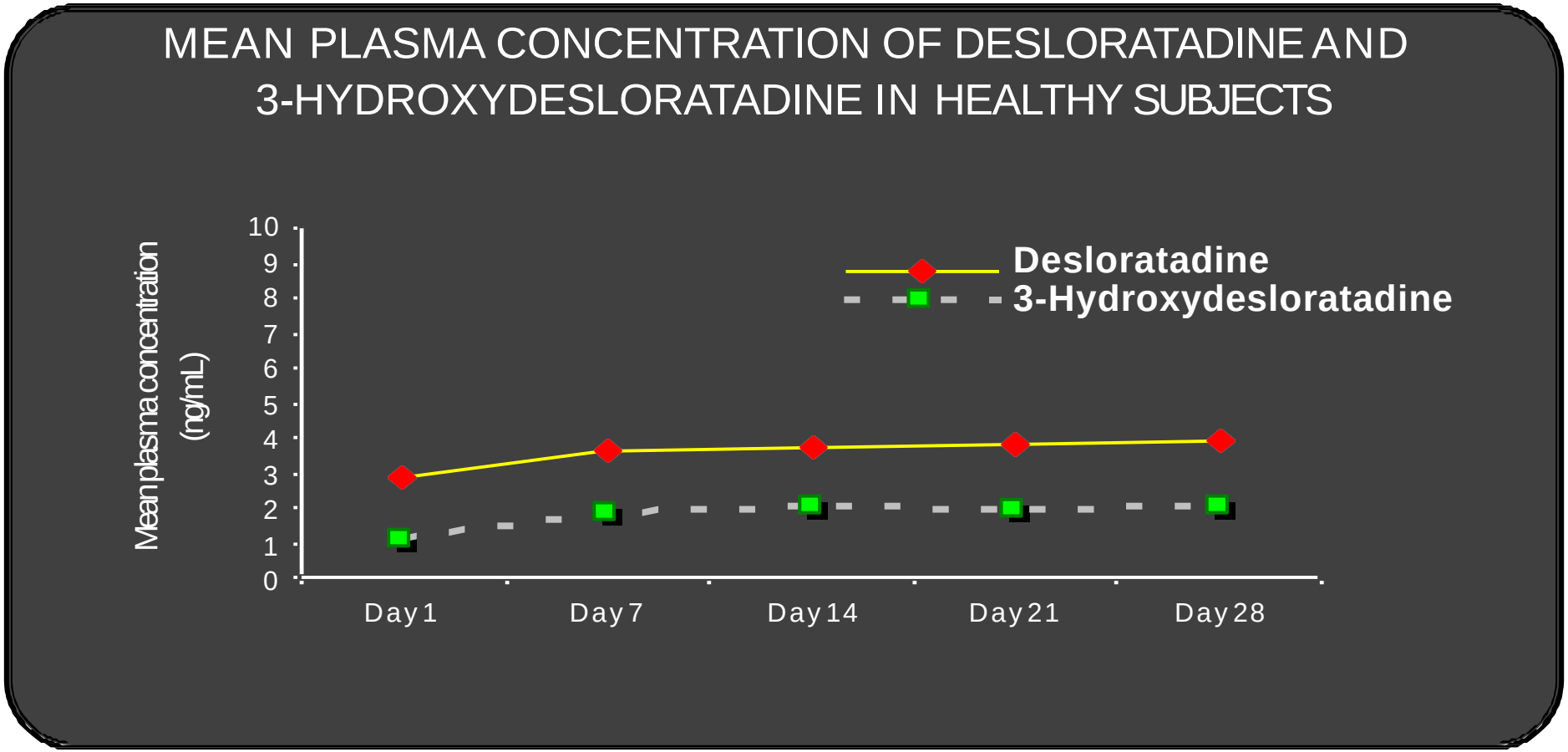
Anthes et al. *Eur J Pharmacol.* 2002;449:229.

Desloratadine: 27 Hours Long half life



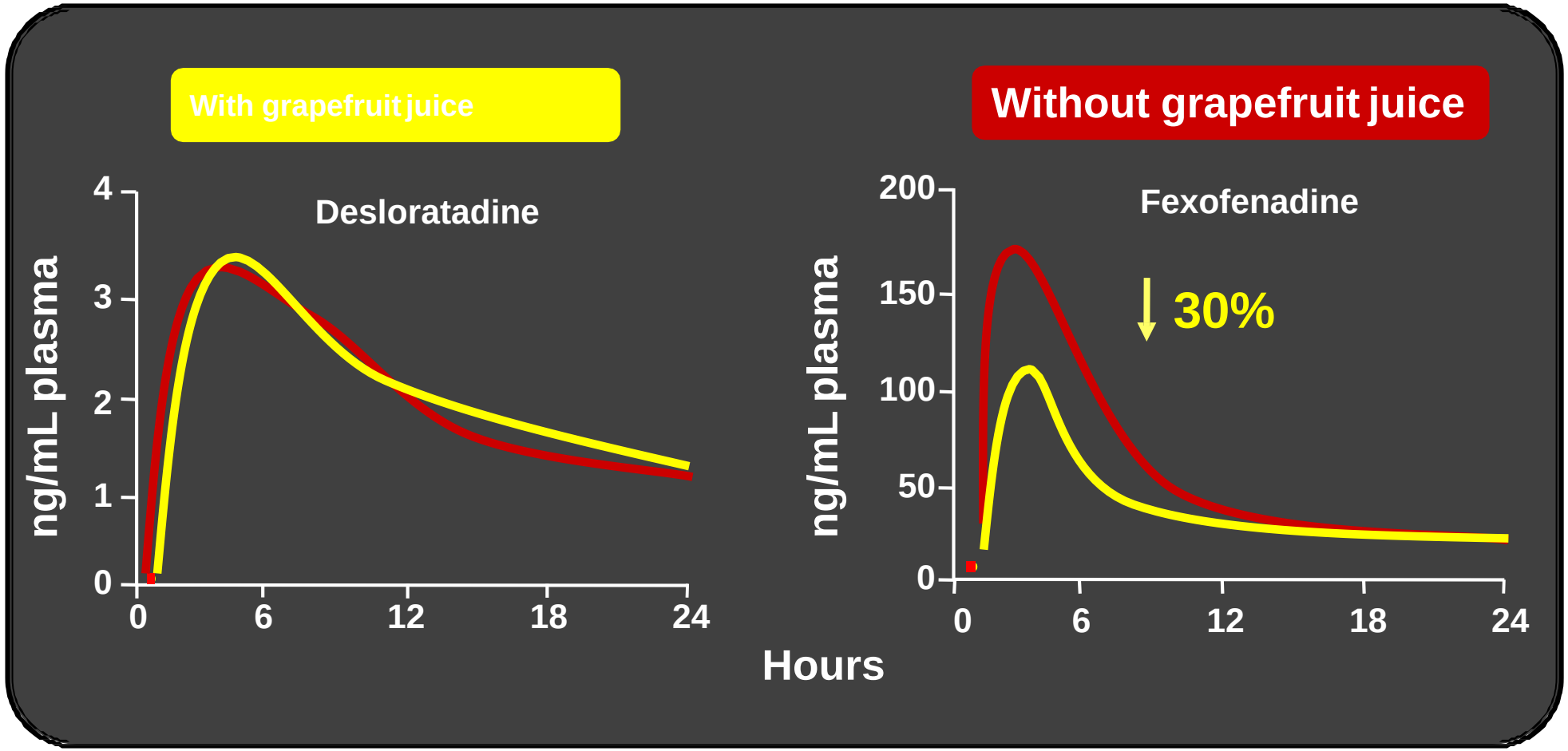
1.Devendra et al. Pharmacology and clinical efficacy of desloratadine as an anti-allergic and anti-inflammatory drug. *Exp Opin Invest Drugs.* 2001;10:547-560.
2.Desloratadine. Summary of Product Characteristics. 3. Telfast® . Summary of Product Characteristics.
4. Boots Hayfever & Allergy Relief Syrup. Summary of Product Information. 5. Xyzal® Summary of Product Characateristics.
6. Walsh et al. New Insights into the Second Generation Antihistamines. *Drugs*,2001;61:207.
7. Estelle et al. Advances in H1-Antihistamines, *NEJM* 2004;351:2203-17
8. Katherine et al. Oral Bepotastine in allergic disorders, *Drugs* 2010;20(12)1579-1591

Desloratadine: DOES NOT accumulate in the body



1.Mean plasma concentration of desloratadine and 3-hydroxydesloratadine in healthy subjects
2.Arrfrime et al. SCH34117: A study evaluating the suppression of whealand flare following multiple-dose administration of desloratadine(5mg) to normal volunteers, *Clinical Pharm. Study*, 2000;1196:1-41

Desloratadine: Oral Bioavailability Is Not Affected by Grapefruit Juice



Courtesy of Prof. P.Devillier.

Banfield et al. *Clin Pharmacokinet.* 2002;41(suppl 1): 29.
Dresser et al. *Clin Pharmacol Ther.* 2002;71:11.

MAN Campaign

Management of Allergic Rhinitis by **A**irokast plus **N**eotadin

Kulak Burun Bogaz Ihtis Derg 2014;24(4):217-224 doi: 10.5606/kbbihtisas.2014.48108 217
Original Article / Çalışma - Araştırma

Quality of life in patients with persistent allergic rhinitis treated with desloratadine monotherapy or desloratadine plus montelucast combination

Desloratadin monoterapisi veya desloratadin artı montelukast kombinasyonu ile tedavi edilen inatçı alerjik rinit hastalarının yaşam kalitesi

Banu Atalay Erdoğan, M.D., Arif Şanlı, M.D., Mustafa Paksoy, M.D., Gökhan Altın, M.D., Sedat Aydın, M.D.

Department of Otolaryngology, Dr. Lütfi Kırdar Kartal Training and Research Hospital, İstanbul, Turkey

A six-week randomized, double blind, cross-sectional study

- Group 1, 20 patients received **desloratadine** (5 mg/d) alone
- Group 2, 20 patients received **desloratadine** (5 mg) plus **montelukast** (10 mg)

Optimal pharmacotherapy must
- ✓ **control symptoms**
- ✓ **improve patients' quality of life**

Desloratadine (Neotadin®) + montelukast (Airokast®) has a considerable impact on quality of life, especially night symptoms.

Desloratadine: key properties

- **Highest H1-receptor affinity among second-generation antihistamines**
- **Slow dissociation from H1-receptor**

- Greater in vitro and in vivo antihistaminic potency than loratadine
- No sedation
- No interaction with food
- Unlike loratadine, not metabolized by liver cytochrome P450 3A4 pathway
- Unlike fexofenadine, no interaction with intestinal P-gp and
- OATP pathways
  - **Predictable absorption and serum levels**


20 Film-Coated Tablets
Neotadin® 5
Desloratadine
Antihistaminic (H_1-receptor)
Each tablet contains:
Desloratadine 5 mg
abidi


نئوتادین®
دس لوراتادین
با طعم میوه

Thank you for your attention

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